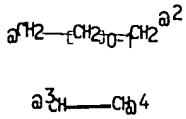
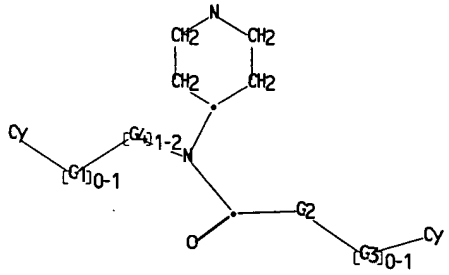
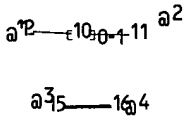
404 09/8000 6

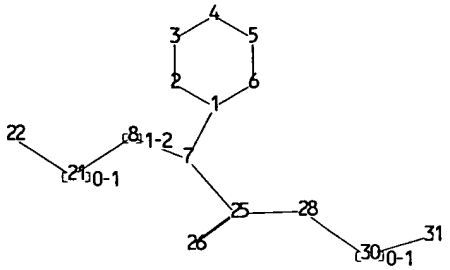
L Number	Hits	Search Text	DB	Time stamp
1	0	("514/352/357/358").ccls	USPAT	2002/04/20 07:17
2	844	("514/352").ccls	USPAT	2002/04/20 07:21
3	1578	("514/357").ccls	USPAT	2002/04/20 07:20
4	224	("514/358").ccls	USPAT	2002/04/20 07:20
5	326	("546/244").ccls	USPAT	2002/04/20 07:20
6	421	("546/246").ccls	USPAT	2002/04/20 07:20
7	484	("546/248").ccls	USPAT	2002/04/20 07:21
8	2406	("514/352,357,358").ccls	USPAT	2002/04/20 07:22
9	1126	("546/244,246,248").ccls	USPAT	2002/04/20 07:23
10	3500	(("514/352,357,358").ccls) (("546/244,246,248").ccls)	USPAT	2002/04/20 07:24
11	37736	5-HT2A serotonin receptors	USPAT	2002/04/20 07:25
12	0	(5-HT2A serotonin receptors) and ((("514/352,357,358").ccls) (("546/244,246,248").ccls)) adj piperidine	USPAT	2002/04/20 07:28
13	51242	((("514/352,357,358").ccls) (("546/244,246,248").ccls)) adj monoaminergic receptor	USPAT	2002/04/20 07:29
14	5502	((((("514/352,357,358").ccls) (("546/244,246,248").ccls)) adj monoaminergic receptor) adj 5-HT1A serotonin	USPAT	2002/04/20 07:30
15	37736	((((("514/352,357,358").ccls) (("546/244,246,248").ccls)) adj monoaminergic receptor) adj 5-HT1A serotonin receptors	USPAT	2002/04/20 07:34
16	0	(((((("514/352,357,358").ccls) (("546/244,246,248").ccls)) adj monoaminergic receptor) adj 5-HT1A serotonin receptors) adj piperidine	USPAT	2002/04/20 07:35
17	0	(((((("514/352,357,358").ccls) (("546/244,246,248").ccls)) adj monoaminergic receptor) adj 5-HT1A serotonin receptors) adj schinzophrenia	USPAT	2002/04/20 07:36
18	5429	(((((("514/352,357,358").ccls) (("546/244,246,248").ccls)) adj monoaminergic receptor) adj 5-HT1A serotonin receptors) adj genetic polymorphism	USPAT	2002/04/20 07:37
19	0	((((((("514/352,357,358").ccls) (("546/244,246,248").ccls)) adj monoaminergic receptor) adj 5-HT1A serotonin receptors) adj genetic polymorphism) adj piperidine	USPAT	2002/04/20 07:37

C:\STNEXP4\QUERIES\09800096 (Patel).









chain nodes :
7 8 10 11 12 15 16 21 22 25 26 28 30 31
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 7-25 7-8 8-21 10-11 10-12 15-16 21-22 25-26 25-28 28-30 30-31
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-7 7-25 7-8 8-21 21-22 25-26 25-28 28-30 30-31
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-12 15-16
isolated ring systems :
containing 1 :

G1:O,S,N,CH2,[*1-*2],[*3-*4]

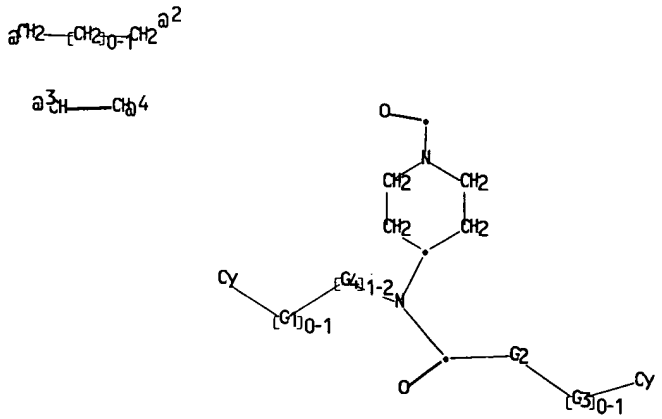
G2:N,CH2,[*3-*4]

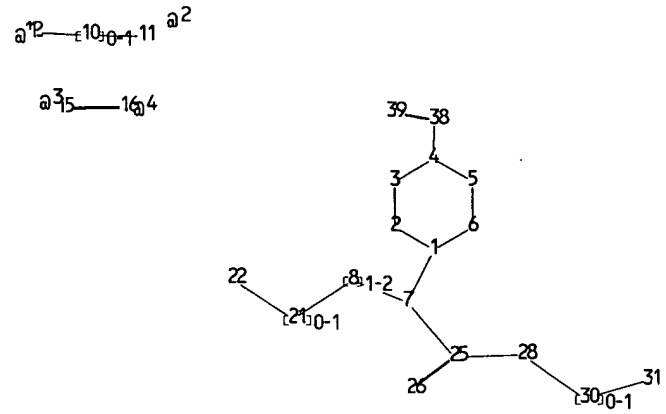
G3:O,S,N,CH2

G4:CH2,[*3-*4]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
12:CLASS 15:CLASS 16:CLASS 21:CLASS 22:Atom 25:CLASS 26:CLASS 28:CLASS 30:CLASS
31:Atom
Generic attributes :
22:
Saturation : Unsaturated
31:

C:\STNEXP4\QUERIES\09800096 (patel) s[redacted] str





chain nodes :
7 8 10 11 12 15 16 21 22 25 26 28 30 31 38 39
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 4-38 7-25 7-8 8-21 10-11 10-12 15-16 21-22 25-26 25-28 28-30 30-31 38-39
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-7 4-38 7-25 7-8 8-21 21-22 25-26 25-28 28-30 30-31 38-39
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-12 15-16
isolated ring systems :
containing 1 :

G1:O,S,N,CH2,[*1-*2],[*3-*4]

G2:N,CH2,[*3-*4]

G3:O,S,N,CH2

G4:CH2,[*3-*4]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
12:CLASS 15:CLASS 16:CLASS 21:CLASS 22:Atom 25:CLASS 26:CLASS 28:CLASS 30:CLASS
31:Atom 38:CLASS 39:CLASS
Generic attributes :
22:
Saturation : Unsaturated
31:

```
=>
Uploading 09800096 (patel).str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 14:07:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  58088 TO ITERATE

  1.7% PROCESSED    1000 ITERATIONS                                  4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS    3733

L2            4 SEA SSS SAM L1

=>
Uploading 09800096 (patel).str

L3      STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l3 sss sam
SAMPLE SEARCH INITIATED 14:13:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  40952 TO ITERATE

  2.4% PROCESSED    1000 ITERATIONS                                  3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      806996 TO   831084
PROJECTED ANSWERS:           1792 TO     3122

L4            3 SEA SSS SAM L3
```

```
=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1840

L5    SCREEN CREATED

=> screen 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2046 OR  2047

L6    SCREEN CREATED

=>
Uploading C:\STNEXP4\QUERIES\09800096 (Patel).str

L7      STRUCTURE UPLOADED

=> que L7 AND L5 NOT L6

L8   QUE L7 AND L5 NOT L6

=> d 18
L8 HAS NO ANSWERS
L5              SCR 1840
L6              SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2046 OR 2047
L7              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L8              QUE  L7 AND L5 NOT L6


=> s l8 sss sam
SAMPLE SEARCH INITIATED 14:15:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  21659 TO ITERATE

  4.6% PROCESSED    1000 ITERATIONS                    7 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      424388 TO  441972
PROJECTED ANSWERS:           2294 TO    3770

L9            7 SEA SSS SAM L7 AND L5 NOT L6

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1840

L10   SCREEN CREATED
```

```
=> screen 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2046 OR  2047

L11   SCREEN CREATED

=>
Uploading C:\STNEXP4\QUERIES\09800096 (Patel).str

L12     STRUCTURE UPLOADED

=> que L12 AND L10 NOT L11

L13  QUE L12 AND L10 NOT L11

=> d l13
L13 HAS NO ANSWERS
L10             SCR 1840
L11             SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2046 OR 2047
L12             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L13             QUE  L12 AND L10 NOT L11


=> s l13 sss sam
SAMPLE SEARCH INITIATED 14:20:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    808 TO ITERATE

100.0% PROCESSED     808 ITERATIONS                                50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:     14455 TO    17865
PROJECTED ANSWERS:          640 TO     1520

L14          50 SEA SSS SAM L12 AND L10 NOT L11

=> s l13 sss ful
FULL SEARCH INITIATED 14:21:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  16583 TO ITERATE

100.0% PROCESSED   16583 ITERATIONS                              867 ANSWERS
SEARCH TIME: 00.00.01

L15         867 SEA SSS FUL L12 AND L10 NOT L11

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=>
Uploading C:\STNEXP4\QUERIES\09800096 (patel) sub.str

L16     STRUCTURE UPLOADED
```

```
=> que L16

L17  QUE L16

=> d l17
L17 HAS NO ANSWERS
L16             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L17             QUE  L16


=> s l17 sub=l15 sss sam
SAMPLE SUBSET SEARCH INITIATED 14:22:46 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -      7 TO ITERATE

100.0% PROCESSED       7 ITERATIONS                              7 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):           ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):            7 TO      298
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):               7 TO      298

L18           7 SEA SUB=L15 SSS SAM L16

=> s l17 sub=l15 sss ful
FULL SUBSET SEARCH INITIATED 14:22:54 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    117 TO ITERATE

100.0% PROCESSED     117 ITERATIONS                            117 ANSWERS
SEARCH TIME: 00.00.01

L19         117 SEA SUB=L15 SSS FUL L16

=> s l15 not l19
L20         750 L15 NOT L19

=> s l20
L21          25 L20

=> d l21 1-25 bib,ab,hitstr
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L21 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 2000:725458 CAPLUS
DN 133:296372
TI Preparation of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine modulators of chemokine receptor activity
IN Berk, Scott; Caldwell, Charles; Chapman, Kevin; Hale, Jeffrey; Lynch, Christopher; Maccoss, Malcolm; Mills, Sander G.; Willoughby, Christopher
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

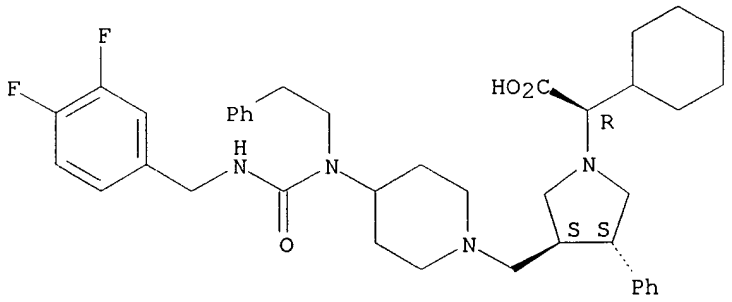
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000059497	A1	20001012	WO 2000-US9059	20000405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
→ US 6399619	B1	20020604	US 2000-542898	20000404
PRAI US 1999-128174P	P	19990406 ← effective date		

OS MARPAT 133:296372
AB The title compds. (I) [wherein R1 = CO2H, NO2, tetrazolyl, hydroxyisoxazole, SO2NH(alkyl)R9, SO2NHCO(alkyl)R9, or PO3H2; R9 = H, (cyclo)alkyl, benzyl, or (un)substituted phenyl; R2 = (un)substituted piperidinyl, tetrahydropyridinyl, or piperazinyl; R3 = (un)substituted Ph or heterocyclyl; R4 = H or (un)substituted alkyl, (alkyl)cycloalkyl, alkenyl, alkynyl, Ph, alkylphenyl, naphthyl, biphenyl, heterocyclyl, cyclohexenyl, etc.; R5 and R6 = independently H or (un)substituted alkyl; or R4 and R5 may be joined together to form an (un)substituted C3-9 cycloalkyl ring; n = 1-3] were prepd. as modulators of chemokine receptors, esp. the chemokine receptors CCR-5 and/or CCR-3. For example, EtNH2 and 1-tert-butoxycarbonyl-4-piperidone were reacted in the presence of DIEA and reduced with NaBH(OAc)3 to give 4-(N-ethylamino)-1-tert-butoxycarbonylpiperidine (97%). Addn. of carbonyldiimidazole and 3,4-difluorobenzylamine to the piperidine followed by deprotection with TFA afforded 4-(N-(N-(3,4-difluorobenzyl)carbamoyl)-N-ethylamino)piperidine.bul.TFA (45%). Coupling the deprotected piperidine with the aldehyde 2-(R)-(3-(R)-formyl-4-(S)-phenylpyrrolidin-1-yl)-2-(cyclohexyl)acetic acid 4-methoxybenzyl ester (prepn. given) in the presence of DIEA followed by redn. with NaBH(OAc)3 gave II. I showed binding activity to the CCR-5 or the CCR-3 receptor, generally with IC50 values of < 1 .mu.M. The present invention is directed to compds. which inhibit the entry of human immunodeficiency virus (HIV) into target cells and are of value in the prevention and treatment of HIV infection and the resulting AIDS syndrome (no data). The invention is further directed to compds. which are useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders, including asthma, allergic rhinitis, dermatitis, conjunctivitis, rheumatoid arthritis, and atherosclerosis (no data).
IT **301230-89-7P 301230-90-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-phenyl-4-(heterocyclylmethyl)pyrrolidine chemokine receptor modulators by reaction of 3-phenyl-4-formylpyrrolidines with heterocycles)

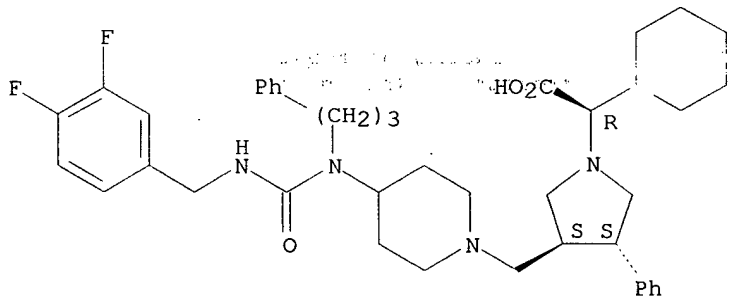
RN 301230-89-7 CAPLUS
CN 1-Pyrrolidineacetic acid, .alpha.-cyclohexyl-3-[[4-[[[[(3,4-difluorophenyl)methyl]amino]carbonyl](2-phenylethyl)amino]-1-piperidinyl]methyl]-4-phenyl-, (.alpha.R,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 301230-90-0 CAPLUS
CN 1-Pyrrolidineacetic acid, .alpha.-cyclohexyl-3-[[4-[[[[(3,4-difluorophenyl)methyl]amino]carbonyl](3-phenylpropyl)amino]-1-piperidinyl]methyl]-4-phenyl-, (.alpha.R,3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1997:696612 CAPLUS
DN 127:358860
TI Preparation of 1-(4-cyanobenzyl)-5-piperidinomethylimidazoles as farnesyl protein transferase inhibitors
IN Anthony, Neville J.; Dinsmore, Christopher; Gomez, Robert P.; Hutchinson, John H.; Wai, John S.; Williams, Theresa M.; Bell, Ian M.; Embrey, Mark W.; Fisher, Thorsten E.
PA Merck & Co., Inc., USA; Anthony, Neville J.; Dinsmore, Christopher; Gomez, Robert P.; Hutchinson, John H.; Wai, John S.; Williams, Theresa M.; Bell, Ian M.; Embrey, Mark W.; Fisher, Thorsten E.
SO PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9738665	A2	19971023	WO 1997-US6487	19970327
	WO 9738665	A3	19971127		
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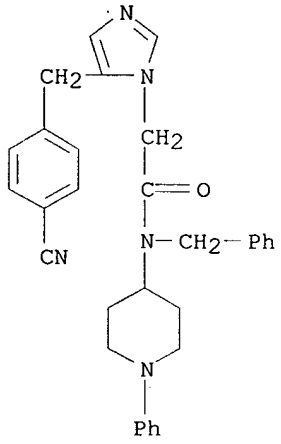
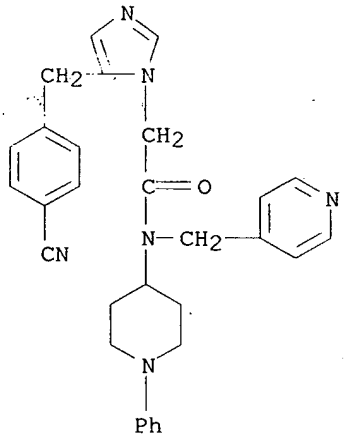
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2249601	AA	19971023	CA 1997-2249601	19970327
	AU 9727347	A1	19971107	AU 1997-27347	19970327
	AU 715202	B2	20000120		
	EP 944388	A2	19990929	EP 1997-921256	19970327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001519766	T2	20011023	JP 1997-537388	19970327
PRAI	US 1996-14791P	P	19960403		
	GB 1996-9981	A	19960513		
	WO 1997-US6487	W	19970327		

OS MARPAT 127:358860
AB RA1[C(R1a)2]nA2[C(R1a)2]nZ1[C(R1b)2]pXZ2X1[C(R1c)2]vR1 [I; A1,A2 = bond, CH:CH, CO, O, (alkyl)imino, etc.; R = H, (un)substituted heterocyclyl, -aryl, etc.; R1 = (un)substituted heterocyclyl or -aryl; R1a,R1b = H, OH, alkyl, alkoxy, aryl, etc.; R1c = H, alkyl, aryl, etc.; X = bond, CH2, CO, etc.; X1 = bond, CH2, CO, O, etc.; Z1 = (un)substituted heterocyclylene; Z2 = azacycloalkylene group I; R2 = H, hydroxy(alkyl), alkoxy(alkyl), alkyl, etc.; Z = bond or CH2; p,n = 0-4; v = 0-2] were prepd. Thus, 1-(4-cyanobenzyl)-5-imidazolecarboxaldehyde was reductively aminated by 4-(3-methylphenyl)-4-hydroxypiperidine (prepn. each given) to give title compd. II. Data for biol. activity of I were given.
IT **198648-44-1P 198648-45-2P 198648-46-3P**
198648-53-2P 198648-85-0P 198648-86-1P
198648-87-2P 198648-94-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-(4-cyanobenzyl)-5-piperidinomethylimidazoles as farnesyl protein transferase inhibitors)
RN 198648-44-1 CAPLUS
CN 1H-Imidazole-1-acetamide, 5-[(4-cyanophenyl)methyl]-N-(phenylmethyl)-N-(1-phenyl-4-piperidinyl)-, hydrochloride (5:6) (9CI) (CA INDEX NAME)



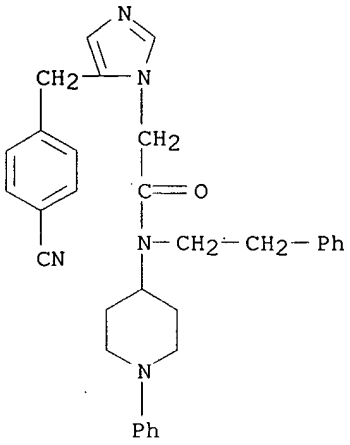
●6/5 HCl

RN 198648-45-2 CAPLUS
CN 1H-Imidazole-1-acetamide, 5-[(4-cyanophenyl)methyl]-N-(1-phenyl-4-piperidinyl)-N-(4-pyridinylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



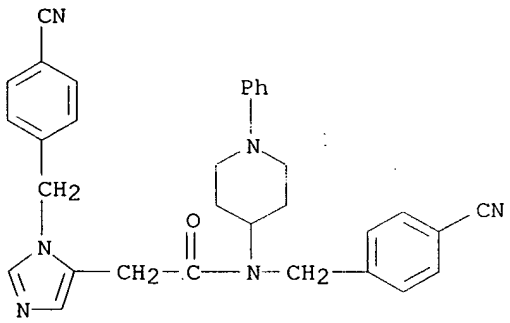
●3 HCl

RN 198648-46-3 CAPLUS
CN 1H-Imidazole-1-acetamide, 5-[(4-cyanophenyl)methyl]-N-(2-phenylethyl)-N-(1-phenyl-4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



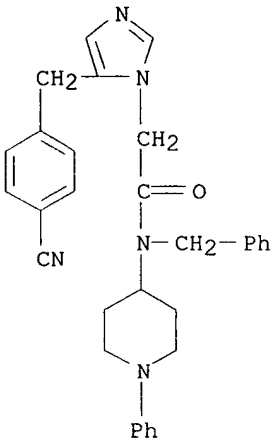
●2 HCl

RN 198648-53-2 CAPLUS
CN 1H-Imidazole-5-acetamide, N,1-bis[(4-cyanophenyl)methyl]-N-(1-phenyl-4-piperidinyl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

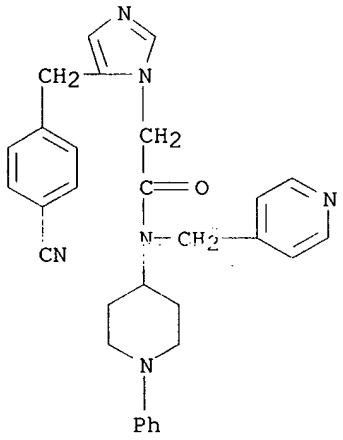


●5/2 HCl

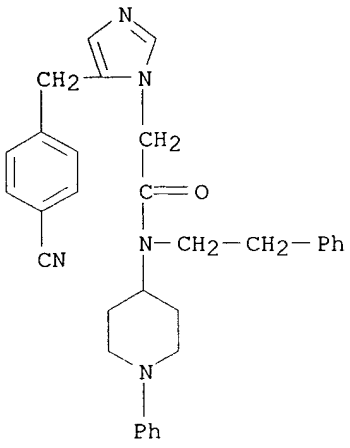
RN 198648-85-0 CAPLUS
CN 1H-Imidazole-1-acetamide, 5-[(4-cyanophenyl)methyl]-N-(phenylmethyl)-N-(1-phenyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



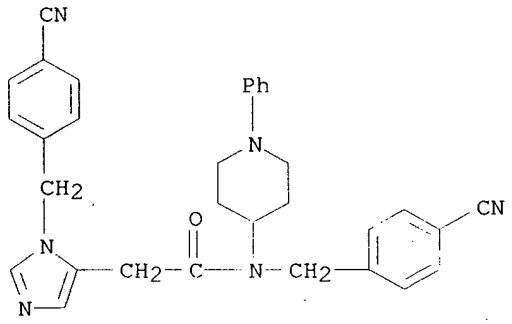
RN 198648-86-1 CAPLUS
CN 1H-Imidazole-1-acetamide, 5-[(4-cyanophenyl)methyl]-N-(1-phenyl-4-piperidinyl)-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 198648-87-2 CAPLUS
CN 1H-Imidazole-1-acetamide, 5-[(4-cyanophenyl)methyl]-N-(2-phenylethyl)-N-(1-phenyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



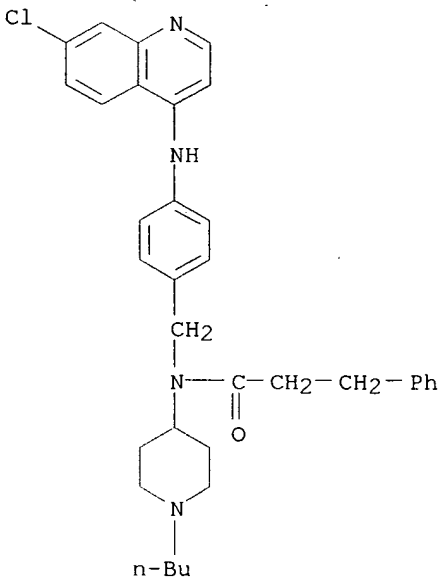
RN 198648-94-1 CAPLUS
CN 1H-Imidazole-5-acetamide, N,1-bis[(4-cyanophenyl)methyl]-N-(1-phenyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



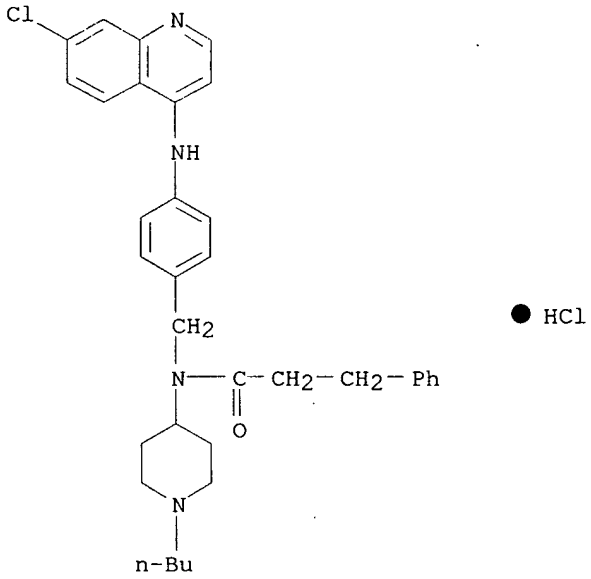
L21 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2003 ACS
AN 1994:106792 CAPLUS
DN 120:106792
TI N-substituted aminoquinoline analgesic agents
IN Mobilio, Dominick; Musser, John H.
PA American Home Products Corp., USA
SO U.S., 13 pp. Cont. -in-part of U.S. Ser. No. 592,411, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5216165	A	19930601	US 1992-855397	19920320
PRAI US 1990-592411		19901003		

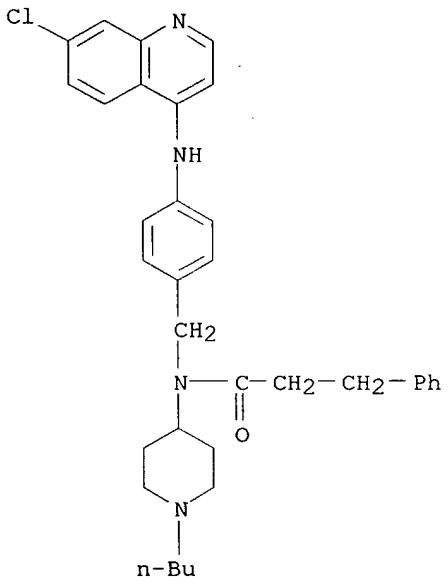
OS MARPAT 120:106792
AB The title compds. I [R = H, halogen, CF3; R1, R2 = H, Q; R3 = H, alkyl; R4 = H, COR5; R5 = H, (un)substituted alkyl, Ph; R6 = alkyl, cycloalkyl, arylalkyl, etc.; Y = CO, direct bond; such that when R1 = H then R2 = Q, and when R2 = H then R1 = Q], which antagonize bradykinin and are useful as analgesic agents in the treatment and management of pain, are prepd. Thus, N-[4-[[(1-butyl-4-piperidinyl)amino]methyl]phenyl]-7-chloro-4-quinolinamine was reacted with hydrocinnamoyl chloride and treated with methanolic HCl, producing N-(1-butyl-4-piperidinyl)-N-[[4-[(7-chloro-4-quinolinyl)amino]phenyl]methyl]benzenepropanamide hydrochloride (II). II had 50% bradykinin inhibitory concn. with guinea pig ileum, of 1.6 .mu.M.
IT **150514-43-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(analgesic activity of)
RN 150514-43-5 CAPLUS
CN Benzenepropanamide, N-(1-butyl-4-piperidinyl)-N-[[4-[(7-chloro-4-quinolinyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)



IT **150514-42-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and analgesic activity of)
RN 150514-42-4 CAPLUS
CN Benzenepropanamide, N-(1-butyl-4-piperidinyl)-N-[[4-[(7-chloro-4-quinolinyl)amino]phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



IT **150514-43-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of substituted aminoquinoline analgesic agents)
RN 150514-43-5 CAPLUS
CN Benzenepropanamide, N-(1-butyl-4-piperidinyl)-N-[[4-[(7-chloro-4-quinolinyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)


Cl
N
NH
CH2
N
C
CH2
CH2
Ph
O
N
n-Bu